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                  500,000 in Key STN Databases
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         APR 02
                 PATDPAFULL: Application and priority number formats
                 enhanced
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         APR 02
                 DWPI: New display format ALLSTR available
NEWS
         APR 02
                 New Thesaurus Added to Derwent Databases for Smooth
                 Sailing through U.S. Patent Codes
      6 APR 02
                 EMBASE Adds Unique Records from MEDLINE, Expanding
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                 Coverage back to 1948
NEWS 7 APR 07
                 50,000 World Traditional Medicine (WTM) Patents Now
                 Available in CAplus
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      8
         APR 07
                 MEDLINE Coverage Is Extended Back to 1947
NEWS
         JUN 16 WPI First View (File WPIFV) will no longer be
                 available after July 30, 2010
         JUN 18
NEWS 10
                 DWPI: New coverage - French Granted Patents
NEWS 11
         JUN 18
                 CAS and FIZ Karlsruhe announce plans for a new
                 STN platform
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         JUN 18
                 IPC codes have been added to the INSPEC backfile
                  (1969-2009)
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         JUN 21
                 Removal of Pre-IPC 8 data fields streamline displays
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         JUN 21
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         JUN 28
                 Introducing "CAS Chemistry Research Report": 40 Years
                 of Biofuel Research Reveal China Now Atop U.S. in
                 Patenting and Commercialization of Bioethanol
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         JUN 29
                 Enhanced Batch Search Options in DGENE, USGENE,
                 and PCTGEN
NEWS 17
         JUL 19
                 Enhancement of citation information in INPADOC
                 databases provides new, more efficient competitor
                 analyses
NEWS 18
         JUL 26 CAS coverage of global patent authorities has
                 expanded to 61 with the addition of Costa Rica
NEWS 19
         SEP 15
                 MEDLINE Cited References provide additional
                 revelant records with no additional searching.
NEWS 20
                 Removal of Pre-IPC 8 data fields streamlines
         OCT 04
                 displays in USPATFULL, USPAT2, and USPATOLD.
NEWS 21 OCT 04
                 Precision of EMBASE searching enhanced with new
                 chemical name field
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NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2, AND CURRENT DISCOVER FILE IS DATED 07 JULY 2010.

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=> FIL REG
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

FULL ESTIMATED COST

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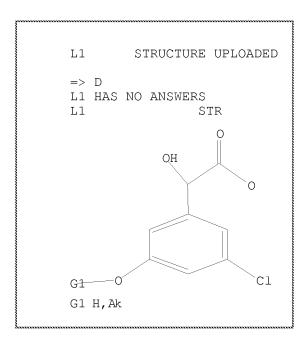


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chain nodes :
7 8 9 10 11 12 13 15
ring nodes :
1 2 3 4 5 6
chain bonds :
2-7 4-9 6-8 7-15 9-10 9-13 10-11 10-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
2-7 7-15 9-13 10-11 10-12
exact bonds :
4-9 6-8 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :
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G1:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS



Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 13:06:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 671 TO 1569
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> S L1 FULL

FULL SEARCH INITIATED 13:06:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1225 TO ITERATE

100.0% PROCESSED 1225 ITERATIONS 43 ANSWERS

SEARCH TIME: 00.00.01

L3 43 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
191.54
191.76

FILE 'CAPLUS' ENTERED AT 13:06:20 ON 05 OCT 2010 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 5 Oct 2010 VOL 153 ISS 15

FILE LAST UPDATED: 4 Oct 2010 (20101004/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3

L4

9 L3

=> D IBIB ABS HITSTR TOT

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2006:1252616 CAPLUS DOCUMENT NUMBER: 146:27625

TITLE:

146:27625
A process for the diastereomeric resolution of substituted (R)- or (S)-mandelic acids with chiral applia middle INVENTOR(S): PATENT ASSIGNEE(S):

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

									APPLICATION NO.							ATE			
									WO 2006-GB1861						20060522				
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
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		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
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	2008																		
US	2008											9132							

WO 2006-GB1861 W 20060522

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(8): MARPAT 146:27625 AB. The resolution of mandelic acid derivative enantiomers from racemic

The resolution of managements, by salt formation with chiral base cyclic amides, and racemization of the unresolved enantiomer in the same process is described. Thus, racemic 2-hydroxy-2-[3-chloro-5-(difluoromethoxy)phenyl]acetic acid was saltified

(Continued) L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

Absolute stereochemistry. Rotation (+).

915979-88-3 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α S)-, compd. with (2S)-2-pyrrolidinecarboxamide (1:1) (CA INDEX NAME)

CRN 853782-50-0 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

CM 2

CRN 7531-52-4 CMF C5 H10 N2 O

Absolute stereochemistry. Rotation (-).

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued) with L-prolinamide in aq. MIBK to form the pptd. (2R)-2-hydroxy-2-[3-chloro-5-(difluoromethoxy)phenyl]acetic acid-L-prolinamide diastereomer salt.
433938-41-1
RL: RCT (Reactant); RACT (Reactant or reagent) (process for the diastereomeric resolution of substituted (R)- or (S)-mandelic acids with chiral cyclic amides)
433938-41-1 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy- (CA INDEX NAME)

INDEX NAME)

853782-51-1P 915979-88-3P RL: SPN (Synthetic preparation); PREP (Preparation) (process for the diastereomeric resolution of substituted (R)- or (S)-mandelic acids with chiral cyclic amides) 853782-51-1 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α R)-, compd. with (2R)-2-pyrrolidinecarboxamide (1:1) (CA INDEX NAME)

CRN 433938-42-2 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

CM 2

CRN 62937-45-5 CMF C5 H10 N2 O

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2005:523397 CAPLUS DECUMENT NUMBER: 111LE: New process for the resolution Number (No. 1) Number (No. 1)

PATENT ASSIGNEE(S):

2005:52339 CAPLUS LABLASSAGE AND A MANAGEMENT OF THE PROCESS FOR THE PERSONNEL OF MANAGEMENT OF THE PROCESS FOR THE PROCESS FO

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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								APPLICATION NO.									
WO 2005054168 A2														20041125			
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PRIORITY APPLN. INFO.:

AU 2004-295152 A3 20041125 CN 2004-80034939 WO 2004-GB4964

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 143:59672
AB The invention relates to a new process for the resolution of mandelic

derivs. by formation of a salt with a D- or L-cyclic amide (4-6-membered ring). The resolved mandelic acid derivs. (including metal and amine salts) are intermediates suitable for large-scale manufacture of

salls) are intermediates suitable for large-scale manufacture of pharmaceutical compds. Thus, 3-chloro-5-(difluoromethoxy)mandelic acid was treated with D-prolinamide in Et acetate containing 8.1% at reflux for 10 min and the solution

tion cooled to 23°C over 13 h. The resulting filtered salt was decomposed by treatment with a 1:1 mixture of 1 M HCl and Et acetate to afford (R)-3-chloro-5-(difluoromethoxy)mandelic acid.
433938-42-2P 853782-50-0P RL: PUR (Purification or recovery); PREP (Preparation) (resolution of mandelic acids by salt formation with prolinamide) 433938-42-2 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

853782-50-0 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

433938-41-1 433938-98-8 433939-01-6 853782-62-4 853782-63-5 RL: RCT (Reactant); RACT (Reactant or reagent)

(Continued) ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

853782-63-5 CAPLUS Benzeneacetic acid, 3-chloro-5-(dichlorofluoromethoxy)-α-hydroxy-(CA INDEX NAME)

853782-51-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(resolution of mandelic acids by salt formation with prolinamide)
853782-51-1 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-a-hydroxy-,
(aR)-, compd. with (2R)-2-pyrrolidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 433938-42-2 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued) (resoln. of mandelic acids by salt formation with prolinamide) 433938-41-1 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy- (CA L4

INDEX NAME)

433938-98-8 CAPLUS Benzeneacetic acid, 3-chloro- α ,5-dihydroxy- (CA INDEX NAME)

433939-01-6 CAPLUS Benzeneacetic acid, 3-chloro-5-(fluoromethoxy)- α -hydroxy- (CA INDEX NAME)

853782-62-4 CAPLUS Benzeneacetic acid, 3-chloro-5-(dichloromethoxy)-α-hydroxy- (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

Absolute stereochemistry. Rotation (+).

853782-52-2P 853782-53-3P 853782-54-4P 853782-55-5P 853782-66-6P 853782-57-7P 853782-61-3P 853782-61-3P 853782-61-3P RL: SPN (Synthetic preparation); PREP (Preparation) (resolution of mandelic acids by salt formation with prolinamide) 853782-52-2 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy-, calcium salt (2:1), (αR)- (CA INDEX NAME)

Absolute stereochemistry.

●1/2 Ca

853782-53-3 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α R)-, compd. with 2,2',2''-nitrilotris[ethanol] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-42-2 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

CM 2

CRN 102-71-6 CMF C6 H15 N O3

сн2-сн2-он

но-си2-си2-и-си2-си2-ои

853782-54-4 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α R)-, compd. with 2,2,6,6-tetramethyl-4-piperidinol (1:1) (CA INDEX NAME)

CRN 433938-42-2 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

CM 2

CRN 2403-88-5 CMF C9 H19 N O

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

853782-57-7 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, compd. with 2,4,6-trimethylpyridine (1:1), (αR) - (CA INDEX NAME)

CM 1

CRN 433938-42-2 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

CRN 108-75-8 CMF C8 H11 N

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

853782-55-5 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α R)-, compd. with piperazine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-42-2 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

$$\mathsf{F}_2\mathsf{CH} \overset{\mathsf{OH}}{\longrightarrow} \mathsf{R} \mathsf{CO}_2\mathsf{H}$$

CM

CRN 110-85-0 CMF C4 H10 N2

853782-56-6 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, compd. with 1,4-dimethylpiperazine (1:1), (α R)- (CA INDEX NAME)

CM 1

CRN 433938-42-2 CMF C9 H7 C1 F2 O4

Absolute stereochemistry.

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

853782-58-8 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α E)-, compd. with 1,2,2,6,6-pentamethyl-4-piperidinol (1:1) (CA INDEX NAME)

Absolute stereochemistry.

CM 2

CRN 2403-89-6 CMF C10 H21 N O

853782-59-9 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, compd. with 2,2',2''-nitrilotris[ethanol] (1:1) (9CI) (CA INDEX NAME)

CM 1

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

CRN 102-71-6 CMF C6 H15 N O3

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853782-60-2 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, compd. with 2,4,6-trimethylpyridine (1:1) (CA INDEX NAME)

CRN 433938-41-1 CMF C9 H7 C1 F2 O4

CM

CRN 108-75-8 CMF C8 H11 N

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:972051 CAPLUS DOCUMENT NUMBER: 140:27752
TITLE: [Chloro(difluoromethoxylabenyllhydrausactylaxetidized]

INVENTOR(S):

chenullbudtonwaretylatetidinen arboxamide derivative salts preparation as Ahlqvist, Matti; Bohlin, Martin; Inghardt, Lundblad, Anita; Sigfridsson, Carl-Gustaf Astrazeneca AB, Swed. PCT Int. Appl., 108 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE: English

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT NO.					KIN	D	DATE			APF	LICAT	ION	NO.		D.	ATE	
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	2004				A		2005				2004-						
											2004-						
US	2005	0234	035		A1		2005	1020		US	2005-	5164	22		2	0050	520
US	72 73	358			B2		2007	0925									

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

853782-61-3 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, co with 1,2,2,6,6-pentamethyl-4-piperidinol (1:1) (CA INDEX NAME)

CM 1

CRN 433938-41-1 CMF C9 H7 C1 F2 O4

2 CM

CRN 2403-89-6 CMF C10 H21 N O

OS.CITING REF COUNT:

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS

1

(1 CITINGS)
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

	TML	20070000000	A	20.0.70.90.2	_TAL	.2007.DN996		20070206
F	US US	20080269176 7763597 ARRIN INFO	A1 B2	20081030 20100727	US	2007-839842	<u> </u>	20070816 20020531
-								
					EP	2003-728206	АЗ	20030527
					NZ	2003-536738	АЗ	20030527
					WO	2003-SE859	W	20030527
					IN	2004-DN3465	АЗ	20041108
					US	2005-516422	A1	20050520

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:27752

There is provided pharmaceutically-acceptable acid addition salts of

of such as I. I was prepared along with two other similar compds. Salts of

I prepared include the ethanesulfonate and benzenesulfonate. The salts are

suseful as prodrugs of competitive inhibitors of trypsin-like proteases, such as thrombin, and thus, in particular, in the treatment of conditions where inhibition of thrombin is required (e.g. thrombosis) or as anticoaquiants.
433938-41-1P 433938-42-2P RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) ((chloro (difluoromethoxy)phenyl]hydroxyacetylazetidinecarboxamide derivative salts preparation as prodrugs)
433938-41-1 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy- (CA INDEX NAME)

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433938-42-2 CAPLUS Benzeneacetic acid, 3-(αR)- (CA INDEX NAME) 3-chloro-5-(difluoromethoxy)- α -hydroxy-,

Absolute stereochemistry

433938-40-0P 433939-13-0P 433939-16-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) ([chloro(difluoromethoxy)phenyl]hydroxyacetylazetidinecarboxamide

derivative salts preparation as prodrugs)
433938-40-0 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy-, ethyl
ester (CA INDEX NAME)

CAPLUS nzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)-α-hydroxy- (CA INDEX NAME)

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433939-16-3 CAPLUS Benzeneacetic acid, 3-(αR)- (CA INDEX NAME) 3-chloro-5-(2-fluoroethoxy)- α -hydroxy-,

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS

(1 CITINGS)
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
SSION NUMBER: 2003:972050 CAPLUS
MENT NUMBER: 140:27751
E: Preparation of azetidinylbenzamidines and related compounds for combination therapy of arrhythmia or coargulation; controlled complications thereof.
NTOR(S): Roth-Rosendahl, Ann-Charlotte, Svernhage, Elisabeth NT ASSIGNEE(S): Astrazeneca AB, Swed.
CDEN: PIXXD2
MENT TYPE: Patent ACCESSION NUMBER: DOCUMENT NUMBER: TNVENTOR (S) . PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English PATENT NO. KIND DATE APPLICATION NO. DATE 2003101956
A1 20031211 W0 2003-SE8554 CA, CA, CA, CA, CA, CA, CM, CM, CC, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MG, MM, MM, MX, MZ, NI, NO, NZ, CM, PH, PL, FT, RC, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
EW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, TR, TT, TB, TF, TF, GF, GF, CT, CT, CZ, DE, DK, EE, ES, FI, FR, GF, GG, C1, CM, GA, GN, GQ, GW, MI, NR, NE, SN, TD, TG
2486110 A1 20031219 AU 2003-2426110 20030527
20032011138 A 20030010 BR 2003-11138 200330527 A1 WO 2003101956 20031211 CA 2003-2486110 AU 2003-232711 BR 2003-11138 CA 2486110 AU 2003232711 BR 2003011138 A A1 20050301 20030527 EP 1513807 20050316 EP 2003-756136 20030521 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, SE, MC, PT, CZ. EE. HU. SK CN 2003-811734 CN 1656066 JP 2005532345 , on 20030527 2005081 JP 2004-509650 NO 2004-4673 ZA 2004-8787 20051027 NO 2004004673 20041201 20041028 ZA 2004008787 20051020 20041029 IN 2004DN03380 20050401 IN 2004-DN3380 20041101 US 20060052314 A1 20060309 US 2005-516426 20050628

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

WO 2003-SE854

W 20030527

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

AB A combination product comprising: (a) a compound of claim 1 in WO 02/44145

02/44145
or a pharmaceutically-acceptable derivative thereof; and (b) (1) a compound as defined in claim 1 of WO 01/28992 or (2) a compound of Claim 34 of WO 01/28992 or (3) Compound A [4-[3-[7-(3,3-dimethyl-2-oxobutyl)-9-oxa-3,7-diazabicyclo[3.3.1]non-3-yl]propyl]-mino]benzonitrile] or B [text-Bu 2-[7-[3-(4-cyanoanilino)propyl]-9-oxa-3,7-diazabicyclo[3.3.1]non-3-yl]ethylcarbamate] or D [text-Bu 2-[7-[4-(4-cyanophenyl)butyl]-9-oxa-3,7-diazabicyclo[3.3.1]non-3-yl]ethylcarbamate] or D [text-Bu 2-[7-(28)-3-(4-cyanophenyl)-2-hydroxypropyl]-9-oxa-3,7-diazabicyclo[3.3.1]non-3-yl]ethylcarbamate] or pharmaceutically acceptable

acceptable
salts thereof in admixt. with a pharmaceutically acceptable adjuvant,
diluent or carrier, is claimed. Thus, title compound (I) (multistep

preparation given) showed an ICSO TT value of co.2 µM.

IT 433938-49-9P 433939-03-8P 433939-17-4P 433939-24-3P 433939-17-4P 433939-24-8P

433339-25-4P
433939-25-4P
433939-25-4P
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azetidinylbenzamidines and related compds. for

therapy of arrhythmia or coagulation controlled complications thereof) 433938-49-9 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(trifluoromethoxy)-, (α R)- (CA INDEX NAME)

Absolute stereochemistry

433938-85-3 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(2,2,2-trifluoroethoxy)-,

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued) (\(\alpha R) - \) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

433938-94-4 CAPLUS Benzeneacetic acid, 3-chloro-5-(2,2-difluoroethoxy)- α -hydroxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

433938-95-5 CAPLUS Benzeneacetic acid, 3-chloro-5-(2,2-difluoroethoxy)- α -hydroxy-, (α E)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433938-94-4 CMF C10 H9 C1 F2 O4

Absolute stereochemistry. Rotation (-).

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433939-17-4 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy-, (α R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CRN 433939-16-3 CMF C10 H10 C1 F O4

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

433939-24-3 CAPLUS Benzeneacetic acid, 3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]- α -hydroxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

CM

121-44-8 C6 H15 N

433939-03-8 CAPLUS Benzeneacetic acid, 3-chloro-5-(fluoromethoxy)- α -hydroxy-, (α E)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433939-02-7 CMF C9 H8 C1 F O4

2 CM

CRN 121-44-8 CMF C6 H15 N

433939-16-3 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433939-25-4 CAPLUS Benzeneacetic acid, 3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]- α -hydroxy-, (α R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

IT 433938-40-0
R1: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azetidinylbenzamidines and related compds. for combination
therapy of arrhythmia or coagulation controlled complications thereof)
RN 433938-40-0 CAPLUS
CN Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-\alpha-hydroxy-, ethyl ester (CA INDEX NAME)

(Continued)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

IT 433938-41-1P 433938-42-2P 433938-48-8P
433938-84-2P 433938-91-1P 433938-98-8P
433938-99-9P 433939-00-5P 433939-01-6P
433939-13-0P 433939-21-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of azetidinylbenzamidines and related compds. for combination

ination
therapy of arrhythmia or coagulation controlled complications thereof)
433938-41-1 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy- (CA
INDEX NAME)

433938-42-2 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α F)- (CA INDEX NAME)

Absolute stereochemistry.

433938-48-8 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(trifluoromethoxy)- (CA

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN INDEX NAME)

433938-84-2 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(2,2,2-trifluoroethoxy)-(CA INDEX NAME)

433938-91-1 CAPLUS Benzeneacetic acid, 3-chloro-5-(2,2-difluoroethoxy)- α -hydroxy- (CA INDEX NAME)

433938-98-8 CAPLUS Benzeneacetic acid, 3-chloro- α ,5-dihydroxy- (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433938-99-9 CAPLUS Benzeneacetic acid, 3-chloro- α ,5-dihydroxy-, ethyl ester (CA INDEX NAME)

433939-00-5 CAPLUS Benzeneacetic acid, 3-chloro-5-(fluoromethoxy)- α -hydroxy-, ethylester (CA INDEX NAME)

433939-01-6 CAPLUS Benzeneacetic acid, 3-chloro-5-(fluoromethoxy)- α -hydroxy- (CA INDEX NAME)

433939-13-0 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy- (CA

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN INDEX NAME) (Continued)

433939-21-0 CAPLUS Benzeneacetic acid, 3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]- α -hydroxy- (CA INDEX NAME)

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT:

(3 CITINGS)
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:971864 CAPLUS DOCUMENT NUMBER: 140:31485 Immediate-release pharmaceutical formulation of TITLE: Immediate-rerease processing amidine compounds
Abrahmsen Alami, Susanna; Inghardt, Tord; Magnusson, INVENTOR(S): Astrazeneca AB, Swed. PCT Int. Appl., 127 pp PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003101423
W: AE, AG, AL,
CO, CE, CU,
GM, HR, HU,
LS, LT, LU,
PH, FL, PT,
TZ, UA, UG,
RW: GH, GM, KE,
KG, KZ, MD,
FT, FR, GB,
BF, BJ, CF,
CA 2485533
AU 2003241239
BR 2003011363
EP 1513496 2003241239 B2 20100318
2003011363 A 20050301 BR 2003-11363 20030527
200301365 A 20050316 EP 2003-730964 20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT
IF, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
1655760 A 20050317 CN 2003-812490 20030527
2005036471 T 20051202 JP 2004-508781 20030527 EP 1513496 SE, MC, PT,

A T B2 1655760 2005536471 4537197 536739 549273 20100901 NZ 2003-536739 NZ 2003-549273 20030527 20030527 20061027 20071221 NZ 2003-349273 RU 2004-133387 TW 2003-92114804 NO 2004-4810 IN 2004-DN3468 2351314 20090410 20030521 311555 20090701 20030530 NO 2004004810 20050224 20041104 IN 2004DN03468 20090213 20041108 IN 239208 A1 20100319 IN 239200 ZA 2004009237 ZA 2004-9237 20041117 20050714 200401104 US 20060014734 20060119 US 2005-516423 20050725 AU 2010-200821 JP 2010-100905 SE 2002-1658 AU 2010200821 JP 2010209090 20100303 20100924

AU 2003-241239

A 20020531

A3 20030527

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

treatment of thrombosis)
433938-40-0 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy-, ethylester (CA INDEX NAME)

PRIORITY APPLN. INFO.:

Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy- (CA INDEX NAME)

433938-42-2 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy-, (GR) - (CA INDEX NAME)

Absolute stereochemistry.

אספריביט CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy- (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

JP 2004-508781 (Continued) A3 20030527 NZ 2003-536739 A3 20030527 WO 2003-SE857 W 20030527 TN 2004-DN3468 A3 20041108

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:31485

An immediate-release pharmaceutical formulation is provided comprising

(a)
as active ingredient, a compound of formula I (R1 = C1-2 alkyl substituted
by one or more fluoro substituents; R2 = H, OH, CMe, OEt; n = 0, 1, 2) or
a pharmaceutically acceptable salt thereof; and (b) a pharmaceutically acceptable diluent or carrier. When the active ingredient is other than in the form of a salt, the formulation does not solely contain (i) a

solution of one active ingredient and water, (ii) a solution of one active

edient and DMSO, or (iii) a solution of one active ingredient in a mixture of ethanol/PEG 660 12-hydroxy stearate/water (5:5:90). Such formulations

used for the treatment of a cardiovascular disorder. For example, a solution was prepared by dissolving Compound A [I (R1 = CHF2, R2 = OMe, n = 0)

varation given)] in a hydroxypropyl- β -cyclodextrin/water diluent (40:60 weight/weight%) (136 μ mol Compound A to 1 mL diluent) and adjusting pH

with HCl. The solubility of Compound A was at least 700 times higher in

vehicle compared to water alone. 433938-40-0P 433938-41-1P 433939-13-0P 433939-16-3P 433938-42-2P

433939-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT actant or reagent)
(preparation and immediate-release formulation of amidine compds. for

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433939-16-3 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy-, (α R)- (CA INDEX NAME)

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT: FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2003:5810 CAPLUS 2003:5810 CAPLUS 138:78457 DOCUMENT NUMBER: TITLE: Oral pharmaceutical formulations containing Licartageand and selling polymers
Gaik-Lim Khoo, Cynthia;
Mattrazenea AB, Swed
PCT Int. Appl., 55 pp. INVENTOR (S) PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE CN 2002-812534 HU 2004-850 JP 2003-506935 NZ 2002-530086 AT 2002-744027 ES 2002-744027 RU 2003-136155 SK 2003-1591 CZ 2003-3491 HI 2002-159217 A2 T A 20040830 20050113 20050930 20060115 2005501024 530086 314093 20020619 20020619 20020619 ES 2254699 20060616 20020619 20080427 2323006 20020619 SK 286238 CZ 299859 20080606 20020619 В6 20081217 20020619 IL 159217 IN 2003MN01087 20090211 IL 2002-159217 IN 2003-MN1087 20020619 20051021 20080328 20031127 IN 214195 ZA 2003009316 ZA 2003-9316 MX 2003-11546 20031128 MX 2003011546 20040319 US 20040242536 US 7700582 20041202 US 2004-481232 20040723

> SE 2001-4049 A 20011130

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN SE 2002-1660 (Continued) A 20020531 W 20020619 WO 2002-SE1217

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB An oral pharmaceutical formulation comprising t-carrageenan, one or
more neutral gelling polymers and a basic pharmaceutical inhibits the
release of the active ingredient from the formulation at acidic pH.
process for the manufacture of the formulation and the use of the formulations

process for the manufacture of the formulation and the use of the formulations are also disclosed. Tablets were obtained by the direct compression of H 376/95 (basic drug) 50.5, PEC 160.0, t-carrageenan 40.0, and sodium stearyl fumarate 2.5 mg. The release of H376/95 from blends with varying composition ratios of PEG and t-carrageenan was determined Blending different ratios of the anionic polymer, t-carrageenan and the neutral gelling polymer PEG, the release rate in media with different pH can be modified. IT 43393-40-0P 433938-42-2P 433939-16-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (in amine-containing azetidine preparation; oral pharmaceutical formulations

containing t-carrageenan and gelling polymers and basic drugs)

RN 433938-40-0 CAPLUS

CN Benreneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy-, ethylester (CA INDEX NAME)

433938-42-2 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

APPLN.

433939-16-3 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry

433938-41-1P 433939-13-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (resolution into enantiomers; oral pharmaceutical formulations

uning
u-carrageman and gelling polymers and basic drugs)
433938-41-1 CAPLUS
Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)-α-hydroxy- (CA
INDEX NAME)

$$\mathbf{F}_2\mathbf{CH} - \mathbf{O} \qquad \qquad \mathbf{CH} - \mathbf{CO}_2\mathbf{H}$$

433939-13-0 CAPLUS

mzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)-α-hydroxy- (CA INDEX NAME)

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS

(2 CITINGS)
THERE ARE 13 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT:

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

20030521

20030530

20030531

20040106

20040210 20040210

20040224

20040226

00.40.82

20060913

20070504

20070727

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ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)
LS, LT, LU, LV, MA, MM, MG, MK, MN, MW, MZ, NO, NZ, CM, PH,
PL, PT, RO, RU, SD, SE, SG, ST, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, RW, CH, CY, CZ, DE, DK, EE, ES, FT, FR, GB, GR, TE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, CQ, GW, ML, MR,
NE, SN, TD, TG

AU 2002324410 A1 20030310 AU 2002-324410 20020303
AU 2002324410 B2 20080424
EP 1423362 B1 20090701
E: AT, BE, CH, DE, DK, ES, FE, GB, GR, TT, LT, LU, NL, SE, MC, PT,
E: AT, BE, CH, DE, DK, ES, FE, GB, GR, TT, LT, LU, NL, SE, MC, PT,
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2002:428874 CAPLUS
DOCUMENT NUMBER:
                                                                        137:20289
                                                                        New mandelic acid derivatives and their use as
TITLE:
                                                                       New mandelic acid derivatives and their use as thrombin inhibitors impaired; inhibitors and their use as thrombin inhibitors and their use as thrombin inhibitors. Anders; Svensson, Arne Astrazeneca AB, Swed. PCT Int. Appl., 204 pp. COMPNL RIVERO.
 INVENTOR (S)
 PATENT ASSIGNEE(S):
DOCUMENT TYPE.
                                                                        English
                                                                                                                                                                                                                                                                                                                                                   20040602 EP 2002-759050 20020830
20090701
DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, FT, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
20040908 BR 2002-11847
20041124 CN 2002-816924 20020830
20041228 HU 2004-1189 20020830
20050210 JP 2003-523215 20020830
20091216
20060331 NZ 2002-551109 20020830
20091216 20020830
20091022 RU 2004-103625 20020830
20091022 ES 2002-759050 20020830
20091021 TW 2002-759050 20020830
20091021 TW 2002-132920 20020830
20061021 TW 2002-132920 2002108
20040816 ZA 2003-3830 20030516
20040227 BG 2003-107825 20030516
20040227 RI 2003-DN780 20030520
FAMILY ACC NUM COUNT:
                                                                                                                                                                                                                                                                               EP 1423362
R: AT, BE,
IE, SI,
BR 2002011847
CN 154980
CN 1301969
HU 2004001189
JP 2005504057
JP 4386724
WZ 531109
RU 2341516
AT 435201
ES 2326963
TW 264434
EA 2030003030
BG 107825
IN 20030N00780
PATENT INFORMATION:
              PATENT NO.
                                                                         KIND
                                                                                           DATE
                                                                                                                              APPLICATION NO.
                                                                                                                                                                                                DATE
             PATENT NO. KIND

WO 2002044145 AI

W: AE, AG, AL, AM,
CO, CR, CU, CZ,
GM, HR, HU, ID,
LS, LT, LU, LV,
FL, FT, RO, RU,
UG, US, UZ, VN,
RN: GH, GM, KE, LS, I
CY, DE, DK, ES,
BF, BJ, CF, CG,
CA 2436220 AI
A 2436220 C
AU 2002018618 A
EE 2003000259 A
EE 2003000259 A
EP 1347955 AI
                                                                                 20020606 W0 2001-SE2657 20011130
AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, IL, IN, IS, JP, EE, KG, KP, KR, KZ, LC, LK, LR, MA, MD, MG, MK, MN, MN, MX, MZ, NO, NZ, CM, PH, XU, ZA, ZM, ZW
MM, MZ, SD, SL, SS, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, YU, ZA, ZM, ZW
MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, CI, CM, GA, GN, GG, GM, ML, MR, NE, SN, TD, TG
2002060 CA 20011130
20020611 AU 2002-18618 20011130
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RU 2004-103625
AT 2002-759050
ES 2002-759050
KR 2004-7002939
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BG 2003-107825
IN 2003-DN780
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EE 2003-259
BR 2001-15861
EP 2001-998535
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IN 2004MN00099
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NL, PT, SE, TR, AL, LT, LV, MK, RO, SI
1955 E 20100521 PT 2001-998835 20011130
318 T3 20100618 ES 2001-99835 20011130
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             ANSWER 7 OF 9

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                                                                                                                                                                                                                                                                               Mandelic acid derivs. I [R = substituted Ph, R1 = OH, CH2OH; X = C6H4, (di)azaphenylene; Y = CH2, CH2CH2] and pharmaceutically-acceptable prodrugs thereof, were prepd for use as competitive inhibitors of trypsin-like proteases, such as thrombin, or as anticoagulants. Thus, 3,5-C1(F2CH0)C6H3CHO was prepared from 3,5-C12C6H3OMe and was converted
                                                                                                                              CN 2001-822316
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                                                                                                                              EP 2001-998535
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                                                                                                                                                                                                                                                                                3,5-Cl(F2CHO)C6H3CH(OSiMe3)CN which was hydrolyzed and resolved with lipase to give (R)-3,5-Cl(F2CHO)C6H3CH(OH)CO2H. This acid was used to acylate the azetidine fragment and deblocked to give the amide (R)-II which had an IC50 <0.02 \muM in the thrombin clotting time test. 433938-87-5P
                                                                                                                              WO 2001-SE2657
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RL: BYP (Byproduct); PREP (Preparation)
(preparation of mandeloylazetidinecarboxamides as thrombin inhibitors)
433938-87-5 CAPLUS
Benzeneacetic acid, 3-chloro-α-hydroxy-5-(2,2,2-trifluoroethoxy)-,
(αS)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX
                                                                                                                              IN 2003-DN780
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CRN 433938-86-4 CMF C10 H8 C1 F3 O4

Absolute stereochemistry.

CM 1

US 2006-520052

US 2006-520063

KR 2008-7014402

MARPAT 137:20289

OTHER SOURCE(S):

B1 20060913

A1 20060913

A3 20080613

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued) CRN 121-44-8 CMF C6 H15 N

433938_40_0D 433938_41_1D 433938-42-2D

433938-41-1 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy- (CA INDEX NAME)

433938-42-2 CAPLUS Benzeneacetic acid, 3-chloro-5-(difluoromethoxy)- α -hydroxy-, (α F) - (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN Absolute stereochemistry. (Continued)

433938-40-8 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(trifluoromethoxy)- (CA INDEX NAME)

433938-49-9 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(trifluoromethoxy)-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

433938-84-2 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(2,2,2-trifluoroethoxy)-(CA INDEX NAME)

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433938-85-3 CAPLUS Benzeneacetic acid, 3-chloro- α -hydroxy-5-(2,2,2-trifluoroethoxy)-, (qR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

433938-91-1 CAPLUS Benzeneacetic acid, 3-chloro-5-(2,2-difluoroethoxy)- α -hydroxy- (CA INDEX NAME)

$$\mathbf{F}_2\mathbf{CH}-\mathbf{CH}_2-\mathbf{O}$$

433938-94-4 CAPLUS Benzeneacetic acid, 3-chloro-5-(2,2-difluoroethoxy)- α -hydroxy-, (qR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433938-95-5 CAPLUS Benzeneacetic acid, 3-chloro-5-(2,2-difluoroethoxy)- α -hydroxy-, (α R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM

Absolute stereochemistry. Rotation (-).

CM

CRN 121-44-8 CMF C6 H15 N

433938-98-8 CAPLUS Benzeneacetic acid, 3-chloro- α ,5-dihydroxy- (CA INDEX NAME)

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433938-99-9 CAPLUS Benzeneacetic acid, 3-chloro- α ,5-dihydroxy-, ethyl ester (CA INDEX NAME)

433939-00-5 CAPLUS Benzeneacetic acid, 3-chloro-5-(fluoromethoxy)- α -hydroxy-, ethyl ester (CA INDEX NAME)

433939-01-6 CAPLUS Benzeneacetic acid, 3-chloro-5-(fluoromethoxy)- α -hydroxy- (CA INDEX NAME)

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433939-16-3 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

433939-17-4 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy-, (α R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433939-16-3 CMF C10 H10 C1 F O4

Absolute stereochemistry.

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433939-03-8 CAPLUS Benzeneacetic acid, 3-chloro-5-(fluoromethoxy)- α -hydroxy-, (α k)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433939-02-7 CMF C9 H8 C1 F O4

CM

CRN 121-44-8 CMF C6 H15 N

RN

433939-13-0 CAPLUS Benzeneacetic acid, 3-chloro-5-(2-fluoroethoxy)- α -hydroxy- (CA INDEX NAME)

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

433939-21-0 CAPLUS Benzeneacetic acid, 3-chloro-5-[2-fluoro-1-(fluoromethyl)ethoxy]- α -hydroxy- (CA INDEX NAME)

433939-24-3 CAPLUS Benzeneacetic acid, 3-chloro-5-[2-fluoro-1-(fluoromethy1)ethoxy]- α -hydroxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

433939-25-4 CAPLUS Benzeneacetic acid, 3-chloro-5-[2-fluoro-1-(fluoromethy1)ethoxy]- α -hydroxy-, (α R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433939-24-3 CMF C11 H11 C1 F2 O4

Absolute stereochemistry.

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued)

CM

THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINOS) THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

126:25368h,25369a
preparation and bactericidal activity of
cephalosporins
Aszodi, Jozsef; Chantot, Jean-francois; Fauveau,
Patrick; D'ambrieres, Solange G.; Hunbert, Daniel;
Dini, Christophe
Roussel-UCLAF, Fr.
U.S., 76 pp., Cont.-in-part of U.S. 5,455,238.
CODEN: USXXAM
Batent INVENTOR(S): PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND APPLICATION NO. DATE US 5587372 FR 2684994 FR 2684994 FR 2696180 FR 2696180 ZA 9209626 US 5455238 EP 1016646 R: AT 19961224 US 1993-167192 FR 1991-15416 19931213 US 5587372 A 19961224
FR 2684994 A1 19930618
FR 2696180 A1 19940201
FR 2696180 A1 19940202
ZA 9209626 A 19931213
SS 5455238 A 19951003
EF 1016646 A1 20000705
ER: AT, BE, CH, DE, DK, ES, FR, FR 2699177
EF 626562 A1 19941214
ER: AT, BE, CH, DE, DK, ES, FR, FR, EAT, BE, CH, DE, DK, ES, FR, 19911212 FR 1992-11520 19920928 3 ZA 1992-9626 19921211 3 US 1992-989235 19921211 GE, GR, TT, LI, LU, NL, SE, FT, IE 7 FR 1993-6975 19930610 GE, GR, TE, IT, LI, LU, NL, FT, SE CA 1993-211164 19931210 AU 1993-52304 19931210 R: AT, BE, CH, CA 2111164 DK, ES, FR, 19941211 A1 CA 2111164 AU 9352304 AU 676218 JP 06345776 ZA 9309284 HU 78025 CN 1096298 US 5712266 US 5728828 HIS 5763617 19941215 A B2 19970306 19941220 19931210 A A A2 ZA 1993-9284 HU 1993-3540 CN 1993-112861 US 1995-453923 US 1995-453990 19950203 19931210 19931210 19931211 19950530 19950530 19990528 19941214 19980127 19980317 US 576361 19980609 US 1996-769488 JP 1997-82414 19961218 JP 10029995 JP 3288951 US 6313305 19980203 19970317 20020604 US 1997-900366 19970721 В1 20011106 US 5883248 19990316 US 1997-903460 FR 1991-15416 19970730 19911212 PRIORITY APPLN. INFO.: FR 1992-11520 A 19920928 IIS 1992-989235 A2 19921211 EP 1992-403361 A3 19921211 JP 1992-352801 A3 19921214

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 1997:27054 CAPLUS

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.:

TITLE:

1997:27054 CAPLUS 126:131298

126:25368h.25369a

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN FR 1993-6975 19930610 US 1993-167192 A3 19931213

US 1995-453923 A3 19950530

US 1996-769488 A3 19961218

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 126:131298

$$\begin{array}{c|c} H_2N & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

Synthesis of cephalosporins I [A and Al individually = neg. charge, H, alkali or alkaline earth metal, Mg, NH4+ or amine; Rl = (un)substituted 3,4-dihydroxythiophene, 2-amino-1,2,4-thiadiazole, (un)substituted

3,4-dihydroxythiophene, 2-amino-1,2,4-thiadiazole, (un)aussillumines]
phenyl;
R2 = quaternary ammonium of (un)substituted heterocycles or alkylamines]
as bactericides are described. Thus, I (Al = H, A = neg. charge, R1 =
2,4-diffluoro-3,4-dihydroxyphenyl, R2 = imidazo[1,2-a]pyridinium) (II) is
prepared in 9 steps by esterification of
(2,5-diffluoro-3,4-dihydroxyphenyl)hydroxyacetic acid, MomCl protection,
phthalimidoxalation, hydrazinolysis, coupling with
oxo-(2-(triphenylmethyl)amino|thiazol|-4-ylacetic acid, reaction with
4-methoxybenzyl 79-amino-3-([2)-3-chloro-1-propenyl]-8-oxo-5-thia-1azabicyclo[4,2,0]oct-2-en-2-carboxylate hydrochloride, iodination,
amidation with imidazo[1,2-a]pyridine followed by saponification II
exhibits anidation with imidazo[1,2-a]pyridine followed by saponification in exhibits

M.I.C.90 of 2.5 against oracillin-sensitive and penicillin-resistant Staphylococci aureus.

IT 152354-51-3P 152354-52-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and bactericidal activity of cephalosporins)

RN 152354-51-3 CAPLUS

CN Benzeneacetic acid, 3-chloro-α-hydroxy-4,5-bis[(2-methoxyethoxy)methoxy] (CA INDEX NAME)

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued) CH2-O-CH2-CH2-OM MeO-CH2-CH2 CAPLUS
: acid, 3-chloro-a-hydroxy-4,5-bis[(2))methoxy]-, diphenylmethyl ester (CA INDEX NAME) 152354-52-Benzeneacet methoxyetho MeO-CH2-CH2-O-C $CH_2 - O - CH_2 - CH_2 - OMe$ THERE ARE 4 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT: (6 CITINGS)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

REFERENCE COUNT:

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L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:244440 CAPLUS

DOCUMENT NUMBER: 120:244440

CRIGINAL REFERENCE NO.: 120:43313a, 43316a

New cephalosporins comprising a 7-substituted
bensyloxyminn group, process of preparation thereof,
and their application as medication

Aszodi, Jozsef; Chantot, Jean Francois; Fauveau,
Patriok; Solange, Gouin D. Ambrieres; Humbert, Daniel

ROUSENT ASSIGNEE(S): Can. Pat. Appl., 163 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TENT NO.			KINI		DATE		API	PLICATION NO.	DATE
CA	2085137			A.1		19930613		CA.	1992-2085137	1992121
FR	2684994			A1		19930618		FR	1991-15416	1991121
FR	2684994			B1		19950303				
FR	2696180			A1		19940401		FR	1992-11520	1992092
FR	2696180			В1		19941028				
EP	551034			A2		19930714	1	EP	1992-403361	1992121
EP	551034			A3		19930825				
	551034					20000920				
	R: AT,	BE,	CH,	DE,					R, IE, IT, LI,	
ZA	9209626			A C1		19931213		ZA	1992-9626 1992-4562	1992121
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	551034			T3 E		20010131		PΤ	1992-403361 1992-403361 1992-403361	1992121
	1073177			A		19930616		CN	1992-114376	1992121
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								ΑU	1992-30113	1992121
						19951102				
	06041148			A		19940215		JΡ	1992-352801	1992121
	2699177			A1		19940617		FR	1993-6975 1993-402971	1993061
	628562									1993120
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CA	2111164			A1					1993-2111164	1993121
	9352304							ΑU	1993-52304	1993121
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	06345776								1993-341001	
	9309284			A		19950203		ZA	1993-9284	
	78025			3.2		19990528	1	ΗU	1993-3540	1993121
	1096298			A		19941214		CN	1993-112861 1995-34475	1993121
	9534475			A			- 2	ΑU	1995-34475	1995102
ΑU	693932			B2		19980709				

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN (Continued) $7\beta - [[[[[1-(2-{\rm chloro}-3,4-{\rm bis}[(2-{\rm methoxyethoxy}){\rm methoxy}]{\rm phenyl}]-2-{\rm coo}-2-({\rm diphenyl}{\rm methoxy})+{\rm this}]{\rm cxy}]$ (diphenylmethoxy) ethyl]oxy] ${\rm mino}]-[2-[({\rm tirpheny}]{\rm methoy}]{\rm mino}]-3-[(2)-3-{\rm iodo}-1-{\rm propenyl}]-8-{\rm coo}-5-{\rm thia}-1-{\rm arabicyelo}(4,2,0){\rm ot}-2-{\rm ene}-2-{\rm carboxy}){\rm io}$ acid 4-methoxybenzyl ester with quinoline, followed by treatment with CF3CO2H and anisole and workup,

[GR-[3(E),6α,7β(Z)]]-I (T = H; CO2A = CO2-; R1 = R2 = H; R3 = R4 = OH; R5 = Cl; R6 = Q3) (II). II in vitro exhibited MIC9O of 0.6 μg/mL against Pseudomonas aeruginosa. Formulations contg. I are given. 152354-51-3P 152354-51-3P 152354-51-3P 152354-51-3P (Preparation); RRCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, in preparation of antibiotic) 152354-51-3 CAPLUS Benzeneacetic acid, 3-chloro-α-hydroxy-4,5-bis[(2-methoxy)methoxy) (CA INDEX NAME)

-CH2-O-CH2-CH2-OMe MeO-CH2-CH2-O-CH2-

152354-52-4 CAPLUS Benzeneacetic acid 3-chloro- α -hydroxy-4,5-bis[(2-methoxy)methoxy]-, diphenylmethyl ester (CA INDEX NAME)

L4 ANSWER 9 OF 9 CAPL JP 10029995 JP 3288951		on STN (Conti 1997-82414	nued) 19970317
GR 3034937	T3 20010228 GR	2000-402644	20001129
PRIORITY APPLN. INFO.:	FR	1991-15416	A 19911212
	FR	1992-11520	A 19920928
	EP	1992-403361	A3 19921211
	JP	1992-352801	A3 19921214
	FR	1993-6975	A 19930610

OTHER SOURCE(S): MARPAT 120:244440

TO2CCH

$$R^{1}$$
 R^{2}
 R^{3}
 R^{4}
 R^{1}
 R^{2}
 R^{1}
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 R^{3}
 R^{1}
 R^{2}
 R^{3}
 R^{1}
 R^{2}
 R^{3}
 R^{1}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{3}
 R^{1}
 R^{3}

- The title compds. syn-I [as R or S isomers or (R, S) mixture and as inner salts, or salts with pharmaceutically acceptable acids; R1, R2, R3, R5 = H, halo, OH, alkoxy, etc.; R4 = OH, acyloxy, etc.; a proviso related to R1, R2, R3, and R5 is given; A, T = H, metal, etc.; or CO2A, CO2T = CO2-; CH2R6 may be in either E or Z position; R6 = Q1, Q2, etc.; X = CH2, NH, AB
- S; Y, Z = CH, N; a proviso related to Q1 and Q2 is given; R, R11 = halo, alkyl, alkoxy, etc.], useful as antibiotics, were prepared Reaction of